FOR OFFICIAL USE ONLY

ACCESS DB # 23965

PLEASE PRINT CLEARLY

Location (Bldg/Room#): 9B05 1C01

Scientific and Technical Information Center

**SEARCH REQUEST FORM**

Date: 1/10/00 Requester's Full Name: DAVID LUKTON Examiner #: 71263

Art Unit: 1653 Phone (308) 3213 Serial Number: 09/089 894

Results Format Preferred (circle): PAPER DISK E-MAIL

**********

For an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title: Betulinol Derivatives

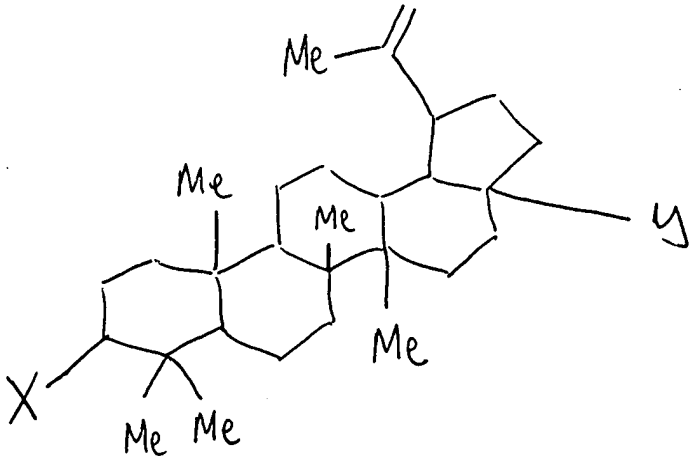
Inventors: BOMSHTEYN, ARKADIY L.; RATHNAM, PREMILA; SAXENA, BRIJ B.

Earliest Priority Date: 6/4/97

Point of Contact:
Beverly Shears
Technical Info. Specialist
CM1 12C14 Tel: 308-4994

Applicants are claiming betulinol derivatives according to the formula below.

Substituent variables are defined on the attached sheet.






**********

STAFF USE ONLY

Searcher: Beverly C. 4994

Searcher Phone #:

Searcher Location:

Date Searcher Picked Up:

Date Completed: 01-19-00

Searcher Prep & Review Time:

Online Time:

Type of Search

_____ [illegible]
_____ AA Sequence (#)
_____ Structure (#)
_____ Bibliographic
_____ Litigation
_____ Fulltext
_____ Other

Vendors and Cost

✓ STN
_____ Dialog
_____ Questel/Orbit
_____ Dr.Link
_____ Lexis/Nexis
_____ Westlaw
_____ WWW/Internet
_____ In-house sequence systems (list)
_____ Other (specify)

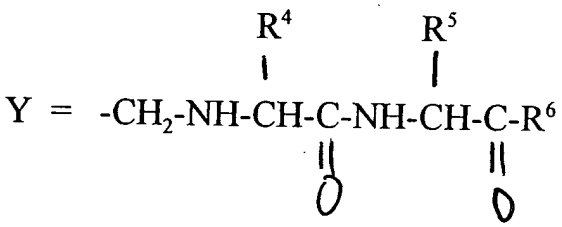
There are two possible combinations:

a) X = $R^1$-O- and concommitantly Y = -$CH_2$-$OR^2$

wherein $R^1$ and $R^2$ are both alkyl;

b) X = $R^3$-O (wherein $R^3$ = anything)

and concommitantly



(wherein $R^4$, $R^5$, $R^6$ = anything)

Lukton
09/089894



=> fil reg; d que stat l12

FILE 'REGISTRY' ENTERED AT 11:13:26 ON 19 JAN 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

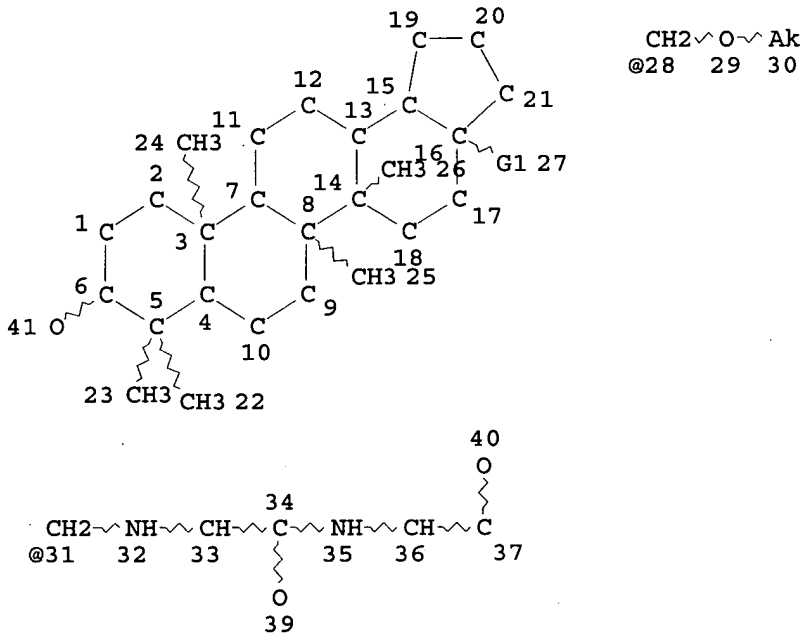

STRUCTURE FILE UPDATES: 18 JAN 2000 HIGHEST RN 252991-37-0
DICTIONARY FILE UPDATES: 18 JAN 2000 HIGHEST RN 252991-37-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 13, 1999

Please note that search-term pricing does apply when conducting SmartSELECT searches.

POTENTIAL STEREO BOND SEARCH PROBLEM WITH STN EXPRESS WITH DISCOVER! 5.0 (Windows Only) SEE NEWS MESSAGE FOR DETAILS.

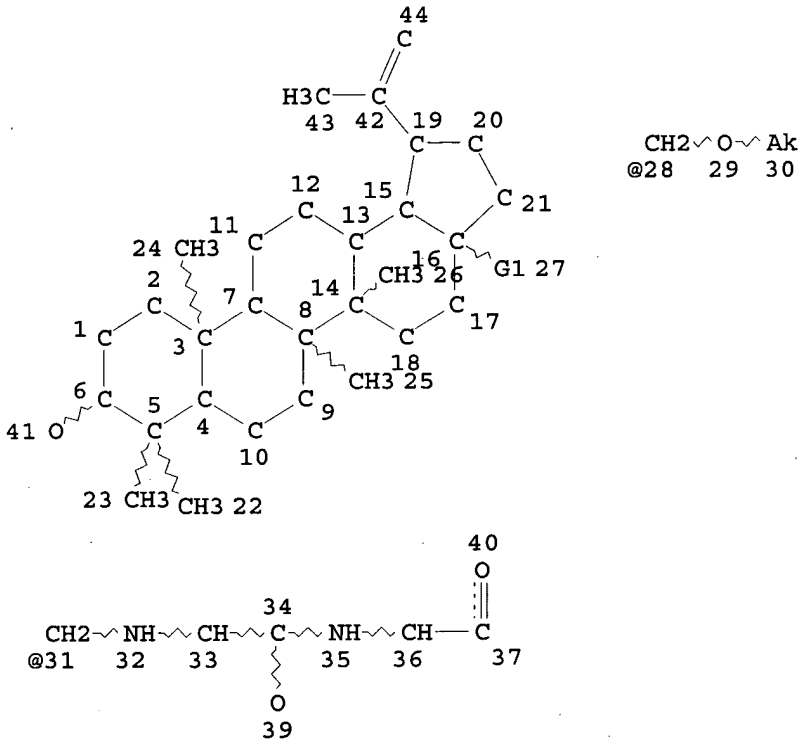
L5 STR




VAR G1=28/31
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE
L7 277 SEA FILE=REGISTRY SSS FUL L5
L8 STR




VAR G1=28/31
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE
L9 97 SEA FILE=REGISTRY SUB=L7 SSS FUL L8 ← Temp saved 7 days
L12 94 SEA FILE=REGISTRY ABB=ON PLU=ON L9 AND 1/NC ← limit to one (1) compd.

FILE 'CAPLUS' ENTERED AT 11:15:33 ON 19 JAN 2000
L13 94 SEA ABB=ON PLU=ON L12 OR L12/D
L14 2 SEA ABB=ON PLU=ON L13 AND (?TUMOUR? OR ?TUMOR? OR ?NEOPLAS? OR ?CARCIN? OR ?CANCER?)

=> sel hit l14 1-2 rn

Searcher : Shears 308-4994

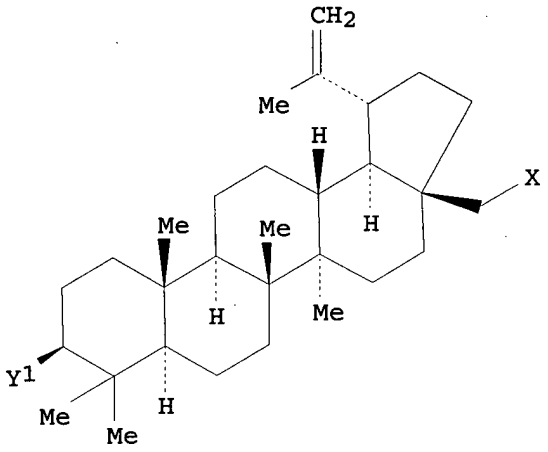
E1 THROUGH E3 ASSIGNED

=> d 1-2 ibib abs hitstr

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:806673 CAPLUS
DOCUMENT NUMBER: 130:52599
TITLE: synthesis and **antitumor** activity of betulinol derivatives and monoclonal antibody conjugates
INVENTOR(S): Bomshteyn, Arkadiy L.; Rathnam, Premila; Saxena, Brij B.
PATENT ASSIGNEE(S): Cornell Research Foundation, Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9855497 | A1 | 19981210 | WO 1998-US11456 | 19980603 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9878135 | A1 | 19981221 | AU 1998-78135 | 19980603 |
| PRIORITY APPLN. INFO.: | | | US 1997-48621 | 19970604 |
| | | | WO 1998-US11456 | 19980603 |

OTHER SOURCE(S): MARPAT 130:52599
GI




I

$H_2NOCH_2CO$-(Gly)$_3$-[Ly(COA$^1$)s-]Gly- II

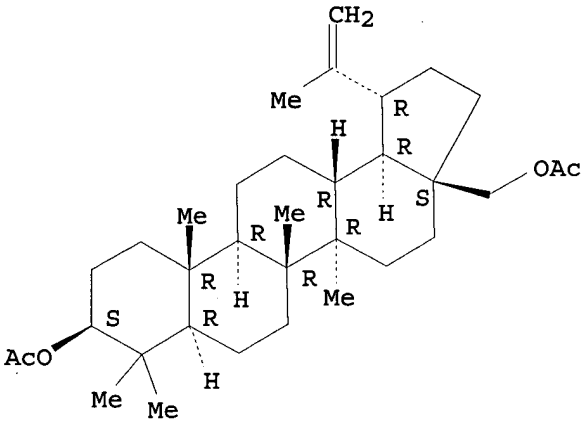
AB Syntheses of betulinol derivs. (I) (X, Y1 = independently OH, alkoxy, alkanoyloxy, -peptide-NHNH-C(O)-antibody-OH moiety) and betulinol-antibody conjugates (II) (A1 = I-peptide-NHN=CH, I-peptide-NHNH) are disclosed.

IT **1721-69-3P 217312-62-4DP**, monoclonal antibody conjugate **217312-62-4P 217312-63-5DP**, monoclonal antibody conjugate **217312-63-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and **antitumor** activity of betulinol derivs. and monoclonal antibody conjugates)

RN 1721-69-3 CAPLUS

CN Lup-20(29)-ene-3,28-diol, diacetate, (3.beta.)- (9CI) (CA INDEX NAME)

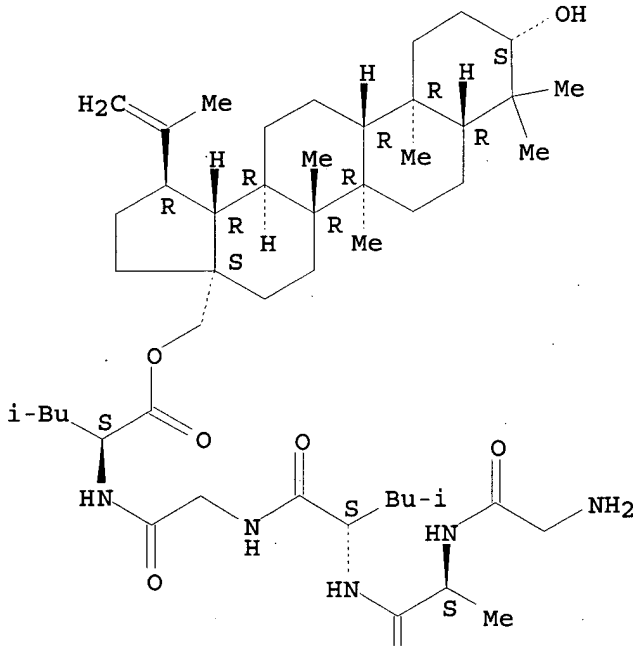
Absolute stereochemistry.



RN 217312-62-4 CAPLUS
CN L-Leucine, glycyl-L-alanyl-L-leucylglycyl-, (3.beta.)-3-hydroxylup-20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.





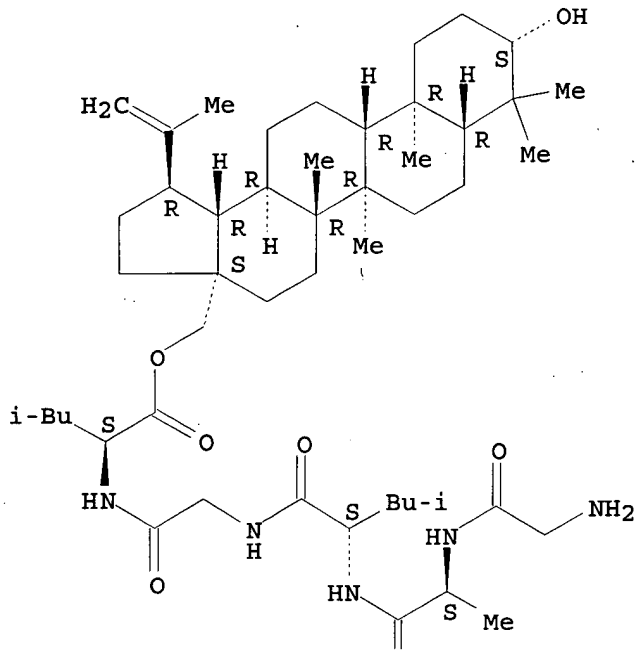
PAGE 2-A



RN 217312-62-4 CAPLUS
CN L-Leucine, glycyl-L-alanyl-L-leucylglycyl-, (3.beta.)-3-hydroxylup-20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



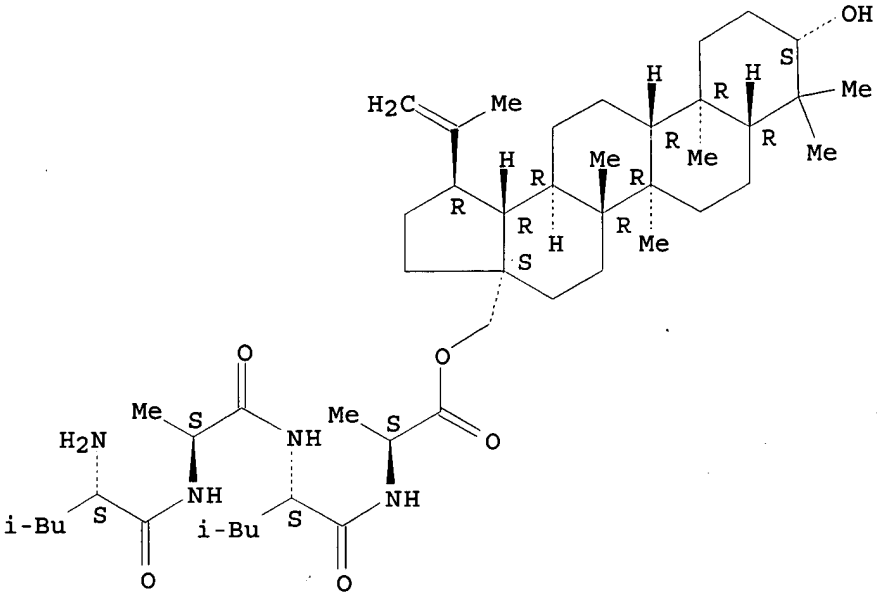
PAGE 2-A



RN 217312-63-5 CAPLUS
CN L-Alanine, L-leucyl-L-alanyl-L-leucyl-, (3.beta.)-3-hydroxylup-20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

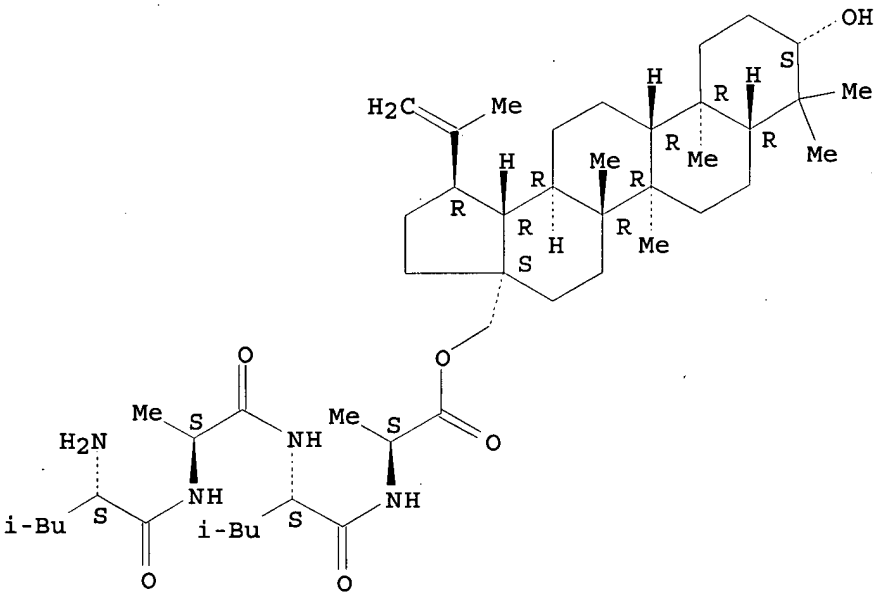
Absolute stereochemistry.

Searcher : Shears 308-4994



RN 217312-63-5 CAPLUS
CN L-Alanine, L-leucyl-L-alanyl-L-leucyl-, (3.beta.)-3-hydroxylup-20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



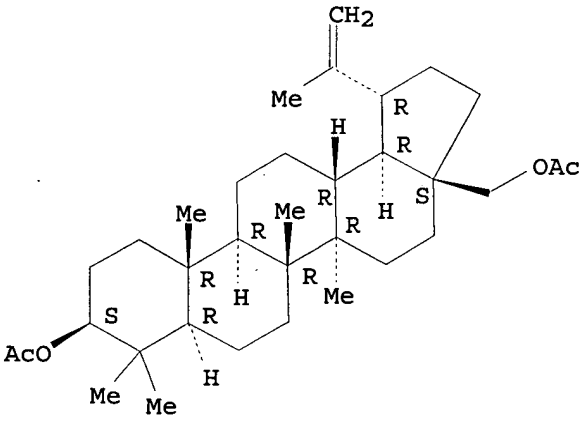
L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1974:445422 CAPLUS
DOCUMENT NUMBER: 81:45422
TITLE: **Tumor** inhibitors. I. **Antitumor** activity of Sarracenia flava
AUTHOR(S): Miles, D. Howard; Kokpol, Udom; Zalkow, Leon H.; Steindel, Steven J.; Nabors, James B.
CORPORATE SOURCE: Dep. Chem., Mississippi State Univ., Mississippi State, Miss., USA
SOURCE: J. Pharm. Sci. (1974), 63(4), 613-15
CODEN: JPMSAE
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The chloroform and aq. exts. of the roots of Sarracenia flava had **antitumor** activity against human epidermoid **carcinoma** of the nasopharynx in vitro but not aginst L-1210 lymphoid leukemia in mice or Walker **carcinosarcoma** 256 in rats. Betulin (I) [473-98-3], a known **tumor** inhibitor, was identified as one active constituent. Betulinic acid [472-15-1] was also identified, but it and 4 derivs. had little in vivo **tumor** inhibitory activity.

IT **1721-69-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 1721-69-3 CAPLUS
CN Lup-20(29)-ene-3,28-diol, diacetate, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




=> fil reg; s e1-e3

FILE 'REGISTRY' ENTERED AT 11:17:34 ON 19 JAN 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
Searcher : Shears 308-4994

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


STRUCTURE FILE UPDATES: 18 JAN 2000 HIGHEST RN 252991-37-0
DICTIONARY FILE UPDATES: 18 JAN 2000 HIGHEST RN 252991-37-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 13, 1999

Please note that search-term pricing does apply when conducting SmartSELECT searches.

POTENTIAL STEREO BOND SEARCH PROBLEM WITH STN EXPRESS WITH DISCOVER! 5.0 (Windows Only) SEE NEWS MESSAGE FOR DETAILS.

```
             1 1721-69-3/BI
               (1721-69-3/RN)
             1 217312-62-4/BI
               (217312-62-4/RN)
             1 217312-63-5/BI
               (217312-63-5/RN)
L15          3 (1721-69-3/BI OR 217312-62-4/BI OR 217312-63-5/BI)
```

=> fil caold; s l15

FILE 'CAOLD' ENTERED AT 11:17:43 ON 19 JAN 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, and patent assignees are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L16 22 L15

=> d 1-22; fil uspat; s l15

L16 ANSWER 1 OF 22 COPYRIGHT 2000 ACS
AN CA65:10640b CAOLD

TI studies on Sarcococca pruniformis
AU Chatterjee, Asima; Mukherjee, K. S.; Dutta, C. P.
IT 473-98-3 1172-08-3 **1721-69-3** 4282-07-9 6901-38-8
13093-98-6 107537-37-1

L16 ANSWER 2 OF 22 COPYRIGHT 2000 ACS
AN CA64:15933e CAOLD
TI Ougeinia dalbergioides
AU Ghosh, Anil C.; Dutta, N. L.
IT 473-98-3 545-47-1 559-70-6 1617-68-1 1617-69-2
**1721-69-3** 5354-50-7

L16 ANSWER 3 OF 22 COPYRIGHT 2000 ACS
AN CA64:11028e CAOLD
TI thin-layer chromatography of tetra- and pentacyclic triterpenes and related compds.
AU Murakami, Takao; Itokawa, H.; Uzuki, F.; Sawada, N.
IT 83-45-4 83-48-7 465-94-1 465-99-6 468-67-7
473-98-3 545-46-0 545-48-2 559-70-6 559-74-0 1616-93-9
1617-72-7 1721-57-9 1721-61-5 **1721-69-3** 1721-78-4
1724-17-0 1896-77-1 1900-51-2 2259-07-6 3607-93-0
3650-05-3 4339-72-4 4651-48-3 5354-50-7 6168-61-2
6184-14-1 6785-78-0 7372-18-1 7372-20-5 7372-21-6
7372-23-8 7372-27-2 7372-30-7 7372-31-8 16844-71-6
17736-04-8 17990-42-0 17990-43-1 19666-76-3 67895-85-6
83110-13-8

L16 ANSWER 4 OF 22 COPYRIGHT 2000 ACS
AN CA63:18204d CAOLD
TI neutral components from the beech Fagus sylvatica - (I) .beta.-sitosterol and betulin from the beech bark
AU Ludwiczak, Rufina S.; Szczawinska, K.
IT **1721-69-3**

L16 ANSWER 5 OF 22 COPYRIGHT 2000 ACS
AN CA63:16773a CAOLD
TI carbohydrate concn. as a factor in the resistance of squash varieties to the pickleworm
AU Brett, Charles H.; McCombs, C. L.; Henderson, W. R.; Rudder, J. D.
IT 473-98-3 530-57-4 545-47-1 **1721-69-3** 1916-07-0
4356-30-3 4356-31-4 4356-32-5 4477-74-1 4550-88-3

L16 ANSWER 6 OF 22 COPYRIGHT 2000 ACS
AN CA63:7198f CAOLD
TI behavior of cellulose pulps during pressing in a continuous slurry press
AU Treiber, Erich; Wangberg, L.; Topham, A.
IT **1721-69-3** 2418-45-3 4651-48-3 29548-30-9

L16 ANSWER 7 OF 22 COPYRIGHT 2000 ACS
AN CA63:639c CAOLD
TI gas chromatography of triterpenes - (I) ursanane, oleanane, and lupane groups
AU Ikekawa, Nobuo; Natori, S.; Itokawa, H.; Tobinaga, S.; Matsui, M.
IT 464-97-1 473-98-3 481-21-0 514-07-8 545-48-2
559-74-0 863-76-3 989-71-9 990-89-6 1477-44-7 1616-03-1
1721-55-7 1721-57-9 1721-58-0 1721-60-4 **1721-69-3**
1721-75-1 1721-78-4 1721-81-9 1724-17-0 1896-77-1
2027-59-0 3574-33-2 3730-87-8 5957-66-4 17736-04-8
32208-45-0

L16 ANSWER 8 OF 22 COPYRIGHT 2000 ACS
AN CA62:10469b CAOLD
TI triterpenes - (IX) triterpenes from the bark of Sambucus nigra and the prepn. of 3-eipursolic acid
AU Huneck, Siegfried; Snatzke, G.
IT 473-98-3 506-52-5 593-49-7 863-76-3 915-32-2
915-79-7 987-94-0 989-30-0 989-71-9 989-72-0 990-89-6
1261-37-6 **1721-69-3** 6861-08-1 32208-45-0

L16 ANSWER 9 OF 22 COPYRIGHT 2000 ACS
AN CA61:14724d CAOLD
TI triterpenes of Alnus barbata bark
AU Matyukhina, L. G.
IT 508-09-8 545-24-4 **1721-69-3** 10376-50-8 108172-58-3

L16 ANSWER 10 OF 22 COPYRIGHT 2000 ACS
AN CA61:7057a CAOLD
TI occurrence of the lupeol group of terpenoids in Diospyros species
AU Row, L. Ramachandra; Rao, C. S.; Ramaiah, T. S.
IT 465-09-8 1617-68-1 **1721-69-3** 2259-06-5 3484-62-6
3794-89-6 4356-30-3 10376-50-8 40286-36-0 107387-11-1

L16 ANSWER 11 OF 22 COPYRIGHT 2000 ACS
AN CA60:1215f CAOLD
TI mass spectrometry in structural and stereo-chem. problems - (XXXII) pentacyclic triterpenes
AU Budzikiewicz, Herbert; Wilson, J. M.; Djerassi, C.
IT 432-11-1 464-98-2 464-99-3 471-68-1 514-07-8
559-73-9 998-30-1 1477-44-7 1617-70-5 1721-58-0
**1721-69-3** 1896-77-1 2259-06-5 2800-77-3 3186-72-9
3391-15-9 3399-27-7 4354-39-6 4356-32-5 4409-10-3
4586-65-6 4611-08-9 5912-72-1 5945-53-9 6154-98-9
6179-75-5 6756-14-5 6895-53-0 6895-55-2 6987-88-8
10389-28-3 10483-91-7 10527-58-9 10527-59-0 17884-89-8
20248-08-2 20475-86-9 22478-83-7 22611-26-3 25465-81-0
26581-15-7 32337-22-7 33512-86-6 35933-00-7 38242-99-8
39701-80-9 39701-82-1 43124-92-1 55887-94-0 55887-95-1

55887-96-2 67667-63-4 70342-15-3 70360-19-9 72247-03-1
103664-93-3 104158-48-7 106631-20-3 107304-69-8 107656-64-4
107657-17-0 107657-18-1 107964-03-4

L16 ANSWER 12 OF 22 COPYRIGHT 2000 ACS
AN CA59:1691h CAOLD
TI triterpenes - (V) (1) identity of homoolestranol and erythrodiol
AU Huneck, Siegfried; Lehn, J. M.
IT 545-48-2 1617-68-1 1617-69-2 **1721-69-3** 1724-17-0
1896-77-1 5573-12-6 6246-44-2 10376-61-1 22570-53-2
26705-41-9 34625-57-5 62697-26-1 67462-70-8 74799-46-5
107297-41-6 107600-64-6

L16 ANSWER 13 OF 22 COPYRIGHT 2000 ACS
AN CA59:1209g CAOLD
TI nuclear magnetic resonance of natural products - (I) triterpenes of the lupane series-methyl groups
AU Lehn, Jean; Ourisson, G.
IT 464-99-3 508-82-7 514-04-5 1617-68-1 1617-69-2
**1721-69-3** 2259-06-5 3186-72-9 3186-86-5 3418-94-8
4439-99-0 6610-54-4 6805-62-5 7372-31-8 13720-27-9
20066-05-1 20097-25-0 20097-32-9 21664-50-6 43124-92-1
55708-97-9 59837-67-1 103714-13-2 104242-59-3 105069-84-9
105069-86-1 105761-26-0 106500-16-7 106572-34-3 107278-62-6

L16 ANSWER 14 OF 22 COPYRIGHT 2000 ACS
AN CA58:5176d CAOLD
TI nuclear magnetic resonance of 57Fe nuclei in hyperfine fields of ordered Li ferrite
AU Le Dang Khoi; Bertaut, Felix
TI nuclear magnetic resonance spectra of pentacyclic triterpenes
AU Shamma, Maurice; Glick, R. E.; Mumma, R. O.
IT 990-89-6 1477-44-7 1721-57-9 **1721-69-3** 1724-17-0
1896-77-1 3186-86-5 3607-93-0 4660-99-5 6805-62-5
10301-74-3 15436-73-4 22418-03-7 22425-78-1 22478-85-9
25089-87-6 32208-45-0 33607-97-5 35933-01-8 55226-48-7
60044-05-5 89955-48-6 106785-68-6 107242-96-6 107660-71-9
107660-73-1

L16 ANSWER 15 OF 22 COPYRIGHT 2000 ACS
AN CA58:3463h CAOLD
TI furoquinolines - (XXII) synthesis of 4-methyl-2,3-dihydro [2,3-b]quinoline and its analogs
AU Ohta, Tatsuo; Mori, Y.; Mihashi, S.
IT **1721-69-3** 4356-30-3 4356-31-4 4477-74-1 4550-88-3
7372-31-8 10376-50-8 35928-12-2 92250-48-1 92297-82-0
92501-22-9 92652-02-3 92652-41-0

L16 ANSWER 16 OF 22 COPYRIGHT 2000 ACS

AN CA58:2476c CAOLD
TI examn. of Saracococa pruniformis-identification of the neutral constituents
AU Gopinath, K. W.; Kohli, J. M.; Kidwai, A. R.
IT 557-61-9 **1721-69-3** 5354-50-7 6861-08-1 107493-32-3

L16 ANSWER 17 OF 22 COPYRIGHT 2000 ACS
AN CA57:9895h CAOLD
TI syntheses in the lupane series
AU Lehn, Jean; Ourisson, G.
IT 464-99-3 **1721-69-3** 3186-72-9 3186-86-5 7372-31-8
20097-25-0 20097-30-7 20097-32-9 25576-27-6 43124-92-1
59837-67-1 59837-77-3 101229-11-2 104242-59-3 104377-18-6
105069-84-9 105069-86-1 106460-46-2 106500-16-7 106572-34-3
107278-62-6 107596-77-0 107928-14-3 107989-25-3

L16 ANSWER 18 OF 22 COPYRIGHT 2000 ACS
AN CA56:8653h CAOLD
TI visible absorption spectra of .alpha.-acylamonoanthraquinone series
AU Hayashi, Takayuki; Shibata, R.
IT 1617-68-1 **1721-69-3** 105123-34-0

L16 ANSWER 19 OF 22 COPYRIGHT 2000 ACS
AN CA56:510d CAOLD
TI steroids and related natural products - (VI) structure of .alpha.-apoallobetulin
AU Pettit, George R.; Green, B.; Bowyer, W. J.
IT 1617-72-7 **1721-69-3** 6714-21-2 6790-65-4 104601-04-9
105070-21-1 106301-18-2 106784-93-4 106784-94-5

L16 ANSWER 20 OF 22 COPYRIGHT 2000 ACS
AN CA54:3494e CAOLD
TI identity of gratiolone and betulic acid
AU Vystrcil, Alois; Stejskalova-Vondraskova, E.; Cerny, J.
IT 472-15-1 473-98-3 **1721-69-3** 2259-06-5 4356-30-3
4356-31-4 6861-08-1 10246-36-3 60441-33-0 99064-34-3
104116-41-8

L16 ANSWER 21 OF 22 COPYRIGHT 2000 ACS
AN CA51:11857d CAOLD
TI infrared spectra of natural products - (VII) indentification and location of ethylenic double bonds in pentacyclic triterpenoids
AU Cole, A. R. H.; Thornton, D. W.
IT 432-11-1 464-97-1 473-98-3 638-95-9 638-96-0
863-76-3 989-72-0 990-89-6 1617-68-1 1617-70-5 1721-57-9
**1721-69-3** 1724-17-0 2259-06-5 2309-01-5 2348-66-5
3607-93-0 4356-30-3 4356-31-4 4660-99-5 4748-12-3
5912-72-1 6024-61-9 6154-96-7 6610-54-4 7372-21-6
10301-74-3 13843-90-8 15071-78-0 18003-96-8 19471-96-6

20555-16-2 22425-72-5 25488-52-2 25493-95-2 25529-07-1
25536-32-7 25536-67-8 27570-20-3 32205-31-5 34625-57-5
35933-00-7 35933-01-8 38242-01-2 55887-94-0 61242-51-1
64762-98-7 64762-99-8 64763-00-4 72692-00-3 97445-32-4
106065-42-3 108628-28-0 108630-60-0 108631-02-3 108760-33-4
117864-72-9 120614-60-0 120928-68-9 121291-14-3 121291-16-5
121291-17-6 122798-61-2

L16 ANSWER 22 OF 22 COPYRIGHT 2000 ACS
AN CA51:1100f CAOLD
TI terpenoids - (XXIII) interconversion of thurberogenin and betulinic acid
AU Djerassi, Carl; Hodges, R.
IT 472-15-1 473-98-3 **1721-69-3** 4356-30-3 13950-49-7
18303-59-8 21670-93-9 22477-79-8 22477-80-1 22478-84-8
24099-81-8 26581-15-7 27570-20-3 60441-33-0 108341-79-3
117026-94-5 119616-99-8 122492-42-6 122650-89-9 123079-63-0
123079-64-1 124107-29-5 124131-92-6

FILE 'USPATFULL' ENTERED AT 11:17:56 ON 19 JAN 2000
CA INDEXING COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 18 Jan 2000 (20000118/PD)
FILE LAST UPDATED: 18 Jan 2000 (20000118/ED)
HIGHEST PATENT NUMBER: US6016568
CA INDEXING IS CURRENT THROUGH 18 Jan 2000 (20000118/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 18 Jan 2000 (20000118/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 1999
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Nov 1999

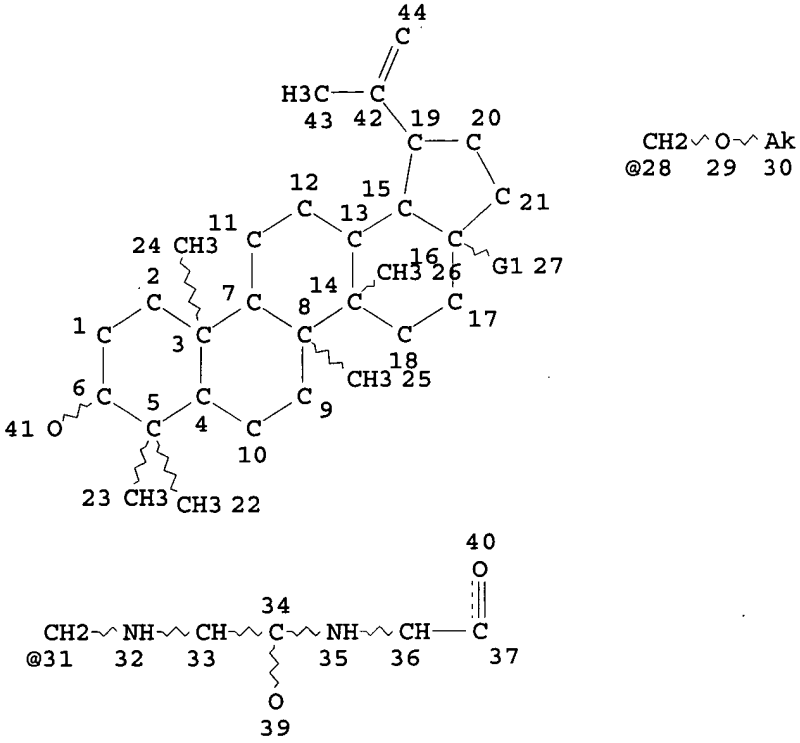
>>> Page images are available for patents from 1/1/96. Current <<<
>>> week patent text is typically loaded by Thursday morning and <<<
>>> page images are available for display by the end of the day. <<<
>>> Image data for the /FA field are available the following week. <<<

>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

Searcher : Shears 308-4994

```
L17          0 L15

=> fil marpat

FILE 'MARPAT' ENTERED AT 11:18:03 ON 19 JAN 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2000 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 108 ISS 12-VOL 132 ISS 3  (20000114/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US   5994561  30 NOV 1999
DE  19914756  25 NOV 1999
EP    960571  01 DEC 1999
JP  11329496  30 NOV 1999
WO   9961451  02 DEC 1999

MARPAT structure search limits have been raised.
Enter HELP SLIMIT for details.

=> d que stat; d 1-5 .bevmar; fil marpatprev

L8              STR
```




VAR G1=28/31
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L19 5 SEA FILE=MARPAT SSS FUL L8 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 61 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.16

L19 ANSWER 1 OF 5 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 131:214464 MARPAT
TITLE: Preparation and anti-HIV activity of acylated

betulin and dihydrobetulin derivatives

INVENTOR(S): Lee, Kuo-hsiung; Sun, I-chen; Wang, Hui-kang; Cosentino, Louis Mark

PATENT ASSIGNEE(S): The University of North Carolina, Chapel Hill, USA; BBI Biotech Research Laboratories, Inc.

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9945025 | A1 | 19990910 | WO 1999-US4605 | 19990302 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-PV76449 19980302

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Betulin and dihydrobetulin acyl derivs. I (R1 = C2-20 (un)substituted carboxyacyl, R2 = (un)substituted C2-20 carboxyacyl; R3 = H, halo, amino, mono- or dialkylamino, OR4, R4 = H, C1-4 alkanoyl, benzoyl, (un)substituted C2-20 carboxyacyl; dashed line represents a optional double bond) were prepd. and were found to have potent anti-HIV activity. Thus, betulin was acylated with 3,3-dimethylglutaryl anhydride to give 3,28-di-O-(3,3,-dimethylglutaryl)betulin (II). The anti-HIV EC50 of II was 0.00066 .mu.M.

IC ICM C07J053-00
CC 32-8 (Steroids)
Section cross-reference(s): 1, 10
ST acylated betulin dihydrobetulin deriv prepn anti HIV
IT Antiviral agents
Human immunodeficiency virus 1
(prepn. and anti-HIV activity of acylated betulin and dihydrobetulin derivs.)

IT 473-98-3, Betulin
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); BIOL (Biological study)
(prepn. and anti-HIV activity of acylated betulin and dihydrobetulin derivs.)
IT 209798-91-4P 209798-93-6P, Lupa-2,20(29)-dien-28-ol
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anti-HIV activity of acylated betulin and dihydrobetulin derivs.)
IT 7372-31-8P 209798-85-6P 209798-86-7P 209798-87-8P
209798-88-9P 209798-89-0P 209798-90-3P 209798-92-5P
242460-66-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anti-HIV activity of acylated betulin and dihydrobetulin derivs.)
IT 4160-82-1, 3,3-Dimethylglutaric anhydride
RL: RCT (Reactant)
(prepn. and anti-HIV activity of acylated betulin and dihydrobetulin derivs.)

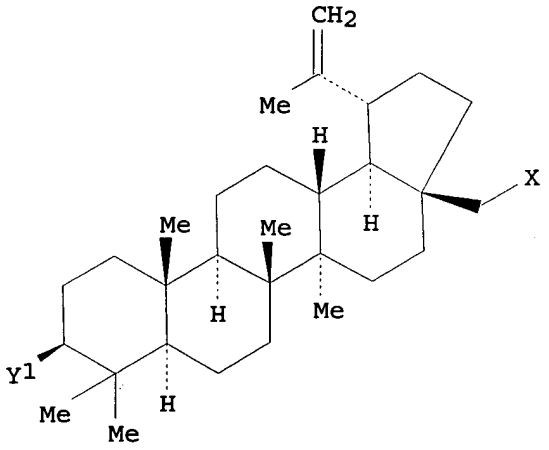
L19 ANSWER 2 OF 5 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 130:52599 MARPAT
TITLE: synthesis and antitumor activity of betulinol derivatives and monoclonal antibody conjugates
INVENTOR(S): Bomshteyn, Arkadiy L.; Rathnam, Premila; Saxena, Brij B.
PATENT ASSIGNEE(S): Cornell Research Foundation, Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9855497 | A1 | 19981210 | WO 1998-US11456 | 19980603 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9878135 A1 19981221 AU 1998-78135 19980603
PRIORITY APPLN. INFO.: US 1997-48621 19970604
WO 1998-US11456 19980603

GI




I

$H_2NOCH_2CO$-(Gly)$_3$-[Ly(COA$^1$)s-]Gly- II

AB Syntheses of betulinol derivs. (I) (X, Y1 = independently OH, alkoxy, alkanoyloxy, -peptide-NHNH-C(O)-antibody-OH moiety) and betulinol-antibody conjugates (II) (A1 = I-peptide-NHN=CH, I-peptide-NHNH) are disclosed.

IC ICM C07J001-00

CC 30-30 (Terpenes and Terpenoids)
Section cross-reference(s): 1, 34

ST betulinol peptide monoclonal antibody conjugates prepn

IT Antitumor agents
(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

IT Monoclonal antibody conjugates
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

IT 1721-69-3P 4439-98-9P, Betulonic aldehyde 217312-62-4DP, monoclonal antibody conjugate 217312-62-4P 217312-63-5DP, monoclonal antibody conjugate 217312-63-5P
RL: BAC (Biological activity or effector, except adverse); SPN

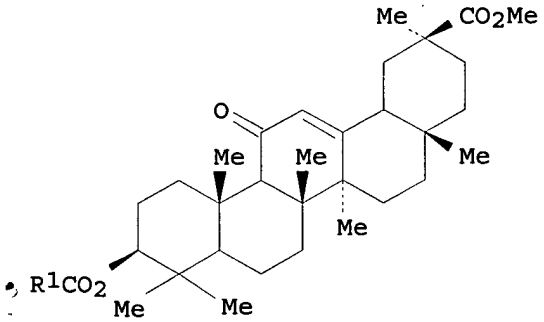
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

IT 473-98-3, Betulinol 99933-15-0 148134-13-8 148134-13-8D, monoclonal antibody conjugate 217312-61-3
RL: RCT (Reactant)
(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

L19 ANSWER 3 OF 5 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 129:166219 MARPAT
TITLE: Ultramicroemulsions of spontaneously dispersible concentrates containing antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes
INVENTOR(S): Eugster, Carl; Eugster, Conrad Hans
PATENT ASSIGNEE(S): Marigen S.A., Switz.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9832443 | A1 | 19980730 | WO 1997-CH23 | 19970124 |
| W: JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 902685 | A1 | 19990324 | EP 1997-900535 | 19970124 |
| R: DE, FR, GB, IT | | | | |

PRIORITY APPLN. INFO.: WO 1997-CH23 19970124
GI




I

Searcher : Shears 308-4994

AB Stable aq. ultramicroemulsions prepd. from spontaneously dispersible Marigenol concs. of pentacyclic triterpene compds. related to betulin, enoxolone, oleanolic acid, lupeol, and ursolic acid, such as I (R1 = C3-31 alkyl or alkenyl, C17-23 alkapolyenyl, retinoyl) are useful for systemic treatment of tumors, eczema, psoriasis, viral and parasitic infections, and metabolic and immune disorders, as well as for lasting tumor prophylaxis and enhanced absorption of exogenous activators, modulators, and regulators. These triterpenes form globular micelles with a hydrodynamic radius of 2.2-3.0 nm having a surfactant shell. The dispersible conc. comprises .gtoreq.1 pentacyclic triterpene 0.1-10, synergistic pharmaceutical or cosmetic active agent 0-5, .gtoreq.1 hydrotrope or coemulsifier 1-25, .gtoreq.1 surfactant 5-90, (pro)vitamin .ltoreq.10, and stabilizer, radical scavenger, biol. vector, permeation enhancer, carrier, and/or diluent .ltoreq.10 wt.%. Phosphate esters and betaines are preferred surfactants. Thus, enteric-coated micropellets were prepd. by granulating Metolose 90 SH-4000 90.0, Avicel PH-101 80.3, Marigenol conc. of 3-O-all-trans-retinoyl oleanolate 139.4, and Aerosil 200 80.3 g with EtOH, sieving, and drying; 44 wt. parts of the granules were coated with the Marigenol conc. 25 and Aqoat AS-HG + talc 31 parts. This compn. was cytotoxic to Py6 virus-infected 3T3 mouse fibroblasts at a diln. of 512,000 after 96 h exposure.

IC ICM A61K031-56
ICS A61K047-06

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 32

ST pentacyclic triterpene antitumor antiviral; parasiticide pentacyclic triterpene emulsifiable conc

IT Chlorination
(agents; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Pellets (drug delivery systems)
(micro-; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Antitumor agents
Antiviral agents
Capsules (drug delivery systems)
Eczema
Emulsifying agents
Granules (drug delivery systems)
Hydrotropes
Lozenges (drug delivery systems)
Parasiticides
Permeation enhancers
Psoriasis

Suppositories (drug delivery systems)
Surfactants
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Triterpenes
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Acid chlorides (organic)
RL: RCT (Reactant)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Caprylic/capric triglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Carboxylic acid esters
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(with terpenols; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 106-22-9, Citronellol 106-24-1, Geraniol 150-86-7 505-32-8, Isophytol 4602-84-0, Farnesol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters with carboxylic acids; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 9005-64-5, Polysorbate 20 9036-19-5, Invadin JFC 800 105362-40-1, Soprophor FL 169275-34-7, Diphasol 3873
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(surfactant; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 7664-38-2D, Phosphoric acid, esters
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(surfactants; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 77-52-1DP, Ursolic acid, esters 471-53-4DP, Enoxolone, esters 472-15-1P, Betulinic acid 473-98-3DP, Betulin, esters 508-02-1DP, Oleanolic acid, esters 545-47-1DP, Lupeol, esters 1477-44-7DP, esters 1617-72-7DP, Allobetulin, esters

1724-17-0DP, Methyl oleanolate, esters 2259-06-5DP, Methyl betulinate, esters 5085-19-8P 5356-59-2P 32208-45-0DP, Methyl ursolate, esters 106766-78-3P 107850-57-7P 211104-54-0P 211104-55-1P 211104-56-2P 211104-57-3P 211104-58-4P 211104-59-5P 211104-60-8P 211104-61-9P 211104-62-0P 211104-63-1P 211104-64-2P 211104-65-3P 211104-67-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 601-34-3, Cholesteryl palmitate 1908-11-8, Cholesteryl laurate 2308-84-1, Stigmasteryl palmitate 2308-85-2, .beta.-Sitosteryl palmitate 3992-98-1, Ergosteryl palmitate 7726-03-6, Cholesteryl n-valerate 20242-97-1, Stigmasteryl laurate 22554-56-9 29398-23-0 39793-25-4 41005-65-6, .beta.-Sitosteryl laurate 59015-74-6, .beta.-Sitosteryl arachidate 110026-12-5, Cholestanyl 10-undecenoate 122295-96-9 137813-23-1, Vitamin D3 isovalerate 153023-84-8 203392-49-8 205057-63-2 211104-66-4 211104-68-6 211104-69-7 211104-70-0 211104-71-1 211230-83-0
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 112-16-3, Lauroyl chloride 302-79-4, all-trans-Retinoic acid 473-98-3, Betulin 508-02-1, Oleanolic acid 530-62-1, 1,1'-Carbonyldiimidazole 538-75-0, N,N'-Dicyclohexylcarbodiimide 1477-44-7 1617-72-7, Allobetulin 2259-06-5, Methyl betulinate 38460-95-6, 10-Undecenoyl chloride
RL: RCT (Reactant)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 110-27-0, Isopropyl myristate 142-91-6, Isopropyl palmitate 157243-31-7, Marigenol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

L19 ANSWER 4 OF 5 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 129:582 MARPAT
TITLE: Use of betulin and analogs thereof to treat herpesvirus infection
INVENTOR(S): Carlson, Robert M.; Krasutsky, Pavel A.; Karim, M. Reza-Ul
PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA

SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5750578 | A | 19980512 | US 1997-798900 | 19970211 |
| WO 9834603 | A1 | 19980813 | WO 1998-US2445 | 19980211 |

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1997-798900 19970211

AB A therapeutic method is provided for treating a mammal afflicted with a herpesvirus infection comprising administering an effective amt. of betulin or a deriv. thereof.

IC ICM A01N027-00
ICS A01N031-00; A61K039-245
NCL 514766000
CC 1-5 (Pharmacology)
ST betulin herpes virus infection; antiviral herpes betulin

IT Antiviral agents
Drug delivery systems
Human herpesvirus
Human herpesvirus 1
Human herpesvirus 2
Oral drug delivery systems
Parenteral solutions (drug delivery systems)
Topical drug delivery systems
(betulin and analogs thereof to treat herpesvirus infection)

IT Glycosides
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(betulin and analogs thereof to treat herpesvirus infection)

IT Birch (Betula)
(betulin from; betulin and analogs thereof to treat herpesvirus infection)

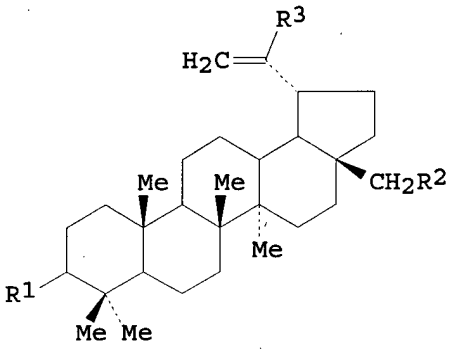
IT 473-98-3P, Betulin
RL: BAC (Biological activity or effector, except adverse); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(betulin and analogs thereof to treat herpesvirus infection)

IT 473-98-3D, Betulin, derivs. 207496-66-0
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(betulin and analogs thereof to treat herpesvirus infection)

L19 ANSWER 5 OF 5 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 112:48788 MARPAT
TITLE: Anticancer agents containing betulins
INVENTOR(S): Yamaguchi, Hiroko; Sugimoto, Masanobu; Asano, Kaoru; Murakami, Takao; Tanaka, Nobutoshi
PATENT ASSIGNEE(S): Toa Nenryo Kogyo K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01143832 | A2 | 19890606 | JP 1987-301580 | 19871201 |

GI




I

AB Anticancer agents contain betulins I (R1, R2 = OH, C.ltoreq.18 acyloxy, tosyloxy, R1 = R2 .noteq. OH; R3 = Me, halomethyl) as active ingredients. I (R1 = R2 = AcO, R3 = Me) administered at 0.1 mg/kg i.p. to sarcoma 180-bearing mice for 10 doses markedly inhibited the growth of the sarcoma cells.

IC ICM A61K031-22
ICS A61K031-255; A61K031-56
ICA C07C069-03; C07C143-68
CC 1-6 (Pharmacology)
ST betulin anticancer; neoplasm inhibitor betulin deriv
IT Neoplasm inhibitors
(betulins as)
IT 43124-92-1, L 220 54973-27-2 124368-38-3, L 330 124368-39-4, L 22BR 124445-29-0, L 440 124445-30-3, L 550

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticancer activity of)

FILE 'MARPATPREV' ENTERED AT 11:19:10 ON 19 JAN 2000


FILE COVERS CURRENT RECORDS AND IS UPDATED DAILY
FILE LAST UPDATED: 19 JAN 2000 (20000119/ED)

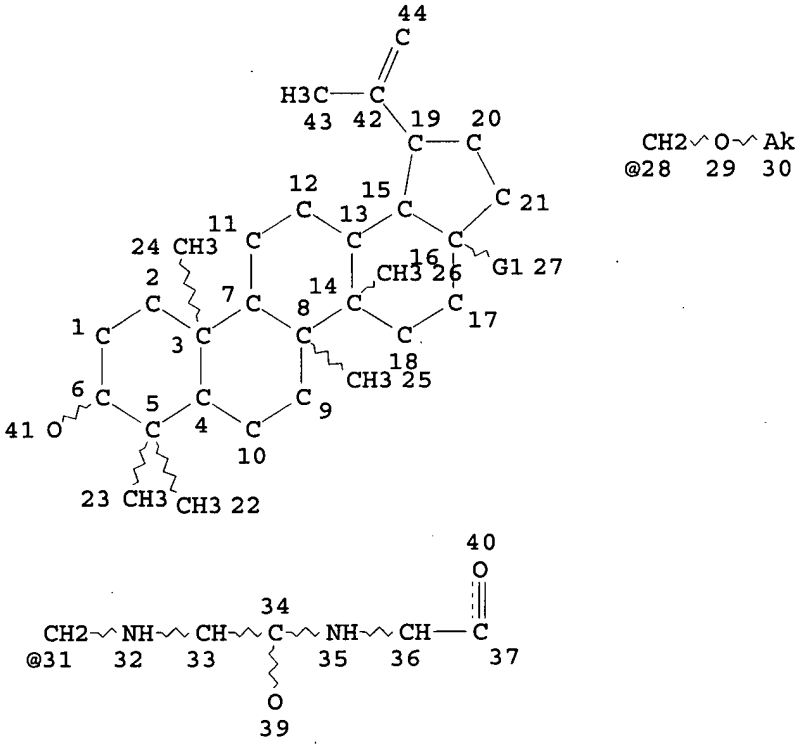
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

| | | | |
|---|---|---|---|
| US | 5994561 | 30 NOV | 1999 |
| DE | 19914373 | 02 DEC | 1999 |
| EP | 963975 | 15 DEC | 1999 |
| JP | 11335370 | 07 DEC | 1999 |
| WO | 9963612 | 09 DEC | 1999 |

MARPATprev structure search limits have been raised.
Enter HELP SLIMIT for details.

=> d que stat; fil hom

L8 STR







VAR G1=28/31
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L20 0 SEA FILE=MARPATPREV SSS FUL L8 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

FILE 'HOME' ENTERED AT 11:19:46 ON 19 JAN 2000

=> d his; d cost

Searcher : Shears 308-4994